(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number
WO 2003/087824 A3

(51) International Patent Classification[7]: G01N 33/543, A61K 47/48, C07C 39/06, 43/23, 39/14, C07D 307/78, 307/80, 307/12, 333/16, A61L 15/20, B01D 61/28

(21) International Application Number: PCT/GB2003/001505

(22) International Filing Date: 7 April 2003 (07.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0208061.2 8 April 2002 (08.04.2002) GB
0216516.5 16 July 2002 (16.07.2002) GB

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(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to the identity of the inventor (Rule 4.17(i)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,*

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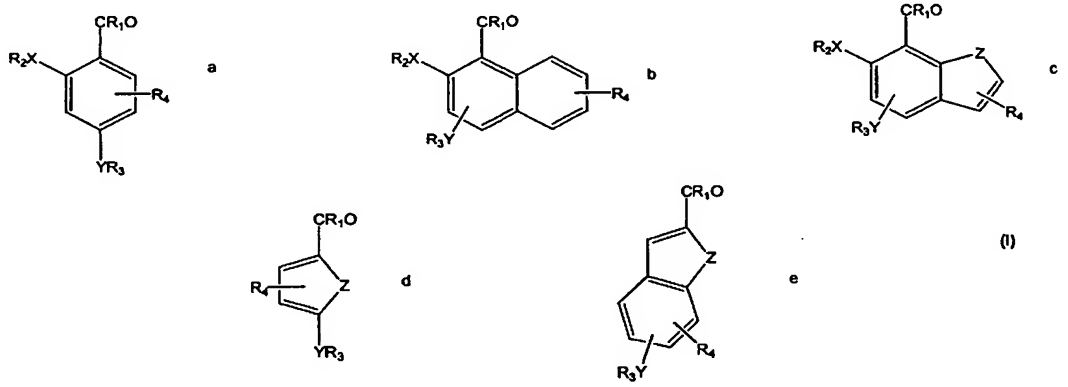
(54) Title: CHARGE-BALANCED CHEMOSELECTIVE LINKERS



(57) Abstract: Compounds according to general formulae (Ia to Ie) wherein: X = O or S; Y is O, S or CH_2, CHR, CRR, where R is C_{1-7} alkyl; Z is O or S; R_1 is H or C_{1-7} alkyl; R_2 is H or C_{1-7} alkyl; R_4 is H or C_{1-7} alkyl at any vacant position on the aromatic ring; R_3 is C_{1-7} alkyl-L_1-R_5-L_2- R_6-COOH, C_{3-10} cycloalkyl-L_1-R_5-L_2- R_6-COOH or Ar-C_{0-7} alkyl-L_1-R_5-L_2- R_6-COOH; each of L_1 and L_2 is absent or a suitable linker such as an amide CONH; or an ether -O-, or a thioether -S- or a sulphone -SO_2-; R_5 is C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl each of which is substituted with either NR_8R_9, where the nitrogen atom is capable of being protonated in solution to give $N^+HR_8R_9$; or a quaternary nitrogen atom $N^+R_8R_9R_{10}$, such that R_5 contains a positive charge; each of R_8, R_9 and R_{10} is independently C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl, or any two or more of R_8, R_9 and R_{10} together form an alicyclic or arylalicyclic ring system; R_6 is C_{1-7} alkyl, C_{3-10} cycloalkyl or Ar-C_{0-7} alkyl; and their salts, hydrates, solvates, complexes or prodrugs are of use as linkers for conjugating an epitope to a carrier protein.

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